

**Translation**

**PATENT COOPERATION TREATY**

**PCT**

**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>0000054728</b>	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. <b>PCT/EP2004/007258</b>	International filing date (day/month/year) <b>03.07.2004</b>	Priority date (day/month/year) <b>24.07.2003</b>
International Patent Classification (IPC) or national classification and IPC <b>C07D239/42</b>		
Applicant <b>BASF AKTIENGESELLSCHAFT</b>		

1.	This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2.	This REPORT consists of a total of <u>7</u> sheets, including this cover sheet.
3.	This report is also accompanied by ANNEXES, comprising: a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows: <input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).
4.	This report contains indications relating to the following items: <input checked="" type="checkbox"/> Box No. I Basis of the report <input type="checkbox"/> Box No. II Priority <input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability <input checked="" type="checkbox"/> Box No. IV Lack of unity of invention <input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement <input type="checkbox"/> Box No. VI Certain documents cited <input type="checkbox"/> Box No. VII Certain defects in the international application <input type="checkbox"/> Box No. VIII Certain observations on the international application

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

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Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
  - ☐ This report is based on translations from the original language into the following language \_\_\_\_\_ which is the language of a translation furnished for the purposes of:
    - ☐ international search (Rule 12.3 and 23.1(b))
    - ☐ publication of the international application (Rule 12.4)
    - ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
  - ☐ the international application as originally filed/furnished
  - ☒ the description:
    - pages 1-52 \_\_\_\_\_ as originally filed/furnished
    - pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
    - pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☒ the claims:
    - nos. 1-9 \_\_\_\_\_ as originally filed/furnished
    - nos.\* \_\_\_\_\_ as amended (together with any statement) under Article 19
    - nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
    - nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☐ the drawings:
    - sheets \_\_\_\_\_ as originally filed/furnished
    - sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
    - sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
  - ☐ the description, pages \_\_\_\_\_
  - ☐ the claims, nos. \_\_\_\_\_
  - ☐ the drawings, sheets/figs \_\_\_\_\_
  - ☐ the sequence listing (*specify*): \_\_\_\_\_
  - ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
  - ☐ the description, pages \_\_\_\_\_
  - ☐ the claims, nos. \_\_\_\_\_
  - ☐ the drawings, sheets/figs \_\_\_\_\_
  - ☐ the sequence listing (*specify*): \_\_\_\_\_
  - ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

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## Box No. IV Lack of unity of invention

1. ☐ In response to the invitation to restrict or pay additional fees the applicant has:
- ☐ restricted the claims.
  - ☐ paid additional fees.
  - ☐ paid additional fees under protest.
  - ☐ neither restricted the claims nor paid additional fees.
2. ☒ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is:
- ☐ complied with.
  - ☐ not complied with for the following reasons:

**See supplemental sheet.**

4. Consequently, this report has been established in respect of the following parts of the international application:

- ☒ all parts.
- ☐ the parts relating to claims Nos. \_\_\_\_\_

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

## 1. Statement

Novelty (N)	Claims 1-9	YES
	Claims	NO
Inventive step (IS)	Claims 1-9	YES
	Claims	NO
Industrial applicability (IA)	Claims 1-9	YES
	Claims	NO

## 2. Citations and explanations (Rule 70.7)

D1: WO 03/043993 A (GRAMMENOS WASSILIOS; RHEINHEIMER JOACHIM (DE); BASF AG (DE); GEWEHR M) 30 May 2003 (2003-05-30)

D2: WO 02/074753 A (RHEINHEIMER JOACHIM; BASF AG (DE); GEWEHR MARKUS (DE); LORENZ GISELA) 26 September 2002 (2002-09-26)

**Novelty**

D1 discloses fungicidally active 2-substituted pyrimidines of formula I, including compounds in which the group  $R^4$  is *inter alia*  $C(=NOR^c)NR^aR^b$  (see page 1, lines 4, 5; page 1, formula 1; page 2, line 45; page 17, line 36 - page 19, line 4; pages 30-50, examples).

The present claim 1 claims two *a priori* unified embodiments, i.e. compounds in which  $R^4$  is  $-C(=Z)-NH-X-R^a$  with  $Z = N$ , which can be regarded as a formally novel selection from D1 because the group  $R^4$  in D1 can, in addition to  $-C(=NOR^c)NR^aR^b$ , also have other meanings, and compounds in which  $R^4$  is  $-C(=Z)-NH-X-R^a$  with  $Z = S$  or  $-C(=N-X-R^a)-SR^b$  and for which D1 is not prejudicial to novelty.

Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
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Novelty over D1 can therefore be formally recognized for the subject matter of independent claims 1 and 7 to 9 and dependent claims 2 to 4.

D2 discloses additional fungicidally active 2-substituted pyrimidines from which the compounds of formula I in claim 1 differ in that the substituent R<sup>4</sup> in formula I of claim 1 is acyclic (see page 1, lines 2, 3; page 1, formula I; page 24, line 14 - page 25, line 9; pages 35-44, examples).

#### **Inventive step**

First invention:

The distinguishing feature is the novel selection of the group R<sup>4</sup>, this group being -C(=Z)-NH-X-R<sup>a</sup> with Z = N, at the 2-position on the pyrimidine.

In the absence of material that demonstrates the presence of a surprising effect brought about by this feature, the objective problem on which the novel selection is based can merely be regarded as that of providing additional compounds having a fungicidal effect within the framework of the general teaching of D1.

Since, however, the claimed solution to this very general problem is regarded as a random selection from D1 owing to the absence of a technical effect, an inventive step cannot be recognized for this solution.

Second invention:

The distinguishing feature is group R<sup>4</sup>, this group being -C(=Z)-NH-X-R<sup>a</sup> with Z = S or -C(=N-X-R<sup>a</sup>)-SR<sup>b</sup>, at the 2-

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

position on the pyrimidine.

It also applies here that, in the absence of material that demonstrates the presence of a surprising effect brought about by this feature, the objective problem on which the novel features are based can merely be regarded as that of providing additional compounds having a fungicidal effect, additional intermediate products and an additional method for the production thereof.

The claimed solution to this very general problem consists in the provision of pyrimidines substituted with a group  $R^4$  at the 2-position, the group  $R^4$  being a thiocarboxylic acid amide or its tautomers.

Since, however, this solution cannot be derived from the prior art, an inventive step must be recognized for the aforementioned novel features, even in the absence of a technical effect.

#### **Industrial applicability**

The subject matter of the present claims 1 to 9 is clearly industrially applicable.

#### **Matters of form and content**

The concrete practical examples and the production methods mentioned in the description and in the claims relate exclusively to compounds in which "Z" is "sulfur". Claim 1, to the extent that it relates to compounds in which "Z" is "nitrogen", is therefore not sufficiently supported by the description.

## Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of:

**IV. Lack of unity of invention**

WO-A-03/043993 (D1) discloses fungicidally active 2-substituted pyrimidines of formula I, including compounds in which the group  $R^4$  is  $-C(=NOR^c)NR^aR^b$ .

The distinguishing feature of the compounds of formula I in the present claim 1 in relation to the compounds of D1 can therefore be regarded as that of

- firstly, the provision of pyrimidines substituted with a group  $-C(=Z)-NH-X-R^a$  at the 2-position, Z being "nitrogen", and
- secondly, the provision of pyrimidines substituted with a group  $-C(=Z)-NH-X-R^a$  or  $-C(=N-X-R^a)-SR^b$  at the 2-position, Z being "sulfur".

However, since there are two different distinguishing features without any common structural feature, the subject matter of the present claim 1 cannot be regarded as having unity within the meaning of EPC Article 82 and must therefore be divided into two different inventions (lack of unity of invention *a posteriori*) as follows:

- provision of pyrimidines substituted with a group  $-C(=Z)-NH-X-R^a$  at the 2-position, intermediate products and a method for the production thereof (first invention),
- provision of pyrimidines substituted with a group  $-C(=Z)-NH-X-R^a$  or  $-C(=N-X-R^a)-SR^b$  at the 2-position, Z being "sulfur", intermediate products and a method for the production thereof (second invention).